

0540-1025

TOPICAL COMPOSITION BASED ON ION-EXCHANGE RESINS, IN
PARTICULAR FOR TREATING ERYTHEMAS

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The present invention relates to a topical composition based on ion exchange resins such as colestyramine, particularly for the treatment of erythema of the buttocks in pediatrics.

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Acute diarrhea affects numerous children, particularly children less than five years old, often requiring treatment to avoid secondary infection and to relief children from the pain and itching associated therewith.

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Thus, episodes of acute diarrhea give rise to dermatological problems, particularly cutaneous lesions difficult to heal.

One of the factors which initiates this type of dermatological problem is the defecation of bile salts which are then in intimate contact with the skin.

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First of all, these bile salts which are acidic, lower the cutaneous pH and give rise to erythema.

Then, these bile salts have tensioactive properties, so that the sebaceous layer which is naturally protective, is eliminated.

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To avoid these afflictions, one can use:

1/ on the one hand, with oral treatment, particularly the taking of:

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- antibiotics,
- lactic ferments,
- optiates, particularly loperamide,
- active principles with anti-secretive activity, such as the commercial product Tiorfan, and
- clays, sold under the names Smecta or Actapulgate.

2/ on the other hand with the application of a cream or pommade, particularly

- those known by the commercial names Jonctum, Madécassol, Mytosil,

5 - these including dermocorticoids associated or not with antibiotics.

Such preparations are said to have trophic or protective activity.

10 The results are hardly satisfying because the occlusive barrier created can take place in secondary infection of the sore by the appearance of anaerobic bacterial flora.

Moreover, these preparations do not neutralize the acidity of the bile salts.

These known treatments thus do not give satisfaction.

15 Particularly colestyramine is a basic synthetic ion exchange resin which has a high affinity for bile salts and forms with them an insoluble complex. It is known that 1 gram of colestyramine can absorb up to two grams of bile salts. It is a fine hygroscopic powder, white in color,
20 substantially insoluble in water, alcohol, chloroform and ether. In a 1% solution, the pH is between 4 and 6.

A medication whose commercial name is Questran, is indicated for the treatment of hypercholesterolemia.

25 This powder permits adsorbing bile salts present in the gastrointestinal tract. One can thus prevent the formation of calculi and decrease the circulating triglycerides.

The present invention relates to a composition including at least one ion exchange resin, particularly colestyramine as well as a pH regulator.

30 Thus, in an entirely pertinent manner, the regulator permits increasing the pH to permit optimum absorption of the bile salts by the ion exchange resins.

Thus a pH comprised between 4 and 8, preferably of about 6, is provided.

The composition according to the invention will now be described with the help of particular non-limiting examples.

5 A basic composition according to the present invention comprises the following elements:

- 30% by weight of colestyramine,
- 30% by weight of aluminum hydroxide,
- 30% by weight of magnesium hydroxide, and
- 10 - 10% of water

This composition produces a thick paste.

Tests have been conducted on subjects afflicted with secondary dermatitis:

- with gastroenteritis,
- 15 - with small intestine syndrome, and
- with neonatal necrotic enterocolitis.

The thick paste of colestyramine base is applied 4 times per day to the region corresponding substantially to the region covered by a diaper.

20 Medical observation is conducted upon each application.

There is noted a regression of the lesions at the end of 2 to 7 days.

Thus the composition permits local physiological rebalancing upon the appearance of irritating dermatitis.

25 The pH regulators can also be selected from:

monopotassium phosphate, disodium phosphate, sodium acetate, ammonium acetate, sodium citrate, citric acid, disodium tetraborate, boric acid, amino acids such as lysine, leucine, alanine, methyl parahydroxybenzoate, propyl
30 parahydroxybenzoate, sodium benzoate.

More generally, substances can be used that have buffer properties.

Examples of galenic formulations in the form of creams or powders are indicated hereafter.

1/ Cream with variable proportions of colestyramine:

COMPONENTS	FUNCTION	Quantity %	Quantity %	Quantity %
Colestyramine	Adsorbent	5.000	10.000	15.000
Labrafil M1944C	Oily phase	3.000	3.000	3.000
White vaseline	Oily phase	3.000	3.000	3.000
Tefose 63	Tensioactive	10.000	10.000	10.000
Butylhydroxyanisol	Antioxidant	0.005	0.005	0.005
Methyl parahydroxybenzoate	Preservative	0.100	0.100	0.100
Propyl parahydroxybenzoate	Preservative	0.050	0.050	0.050
Honeysuckle perfume	Perfume	0.500	0.500	0.500
Water adjusted to pH 9 with NaOH 1N	pH regulator	78.345	73.345	68.345
TOTAL		100.000	100.000	100.000

5 Labrafil: commercial name of oleic macrogoglycerides
Tefose 63: commercial name of PEG-6-32 Stearate and glycol stearate.

2/Cream with 10% of colestyramine and sodium dihydrogenophosphate:

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COMPONENTS	FUNCTION	Quantity %
Colestyramine	Adsorbent	10.000
White vaseline	Oily phase	3.000
Labrafil M1944C	Oily phase	3.000
Tefose 63	Tensioactive	10.000
Butylhydroxyanisol	Antioxidant	0.005

Methyl parahydroxybenzoate	Preservative	0.100
Propyl parahydroxybenzoate	Preservative	0.050
Honeysuckle perfume	Perfume	1.000
Sodium dihydrogenophosphate	pH regulator	1.000
Water	Aqueous phase	71.845
TOTAL		100.000

3/ Talc comprising 10% colestyramine:

COMPONENTS	FUNCTION	Quantity %
Colestyramine	Adsorbent	10
Sodium dihydrogenophosphate	pH regulator	1
Talc	Dilutant	89
TOTAL		100

There can be provided different galenic forms:

- 5 - cream,
- gels,
- lotions,
- aerosols,
- spray aerosols

10 The composition can also be provided for direct application on external supports in direct contact with the zones to be treated such as the diapers for nursing babies, children and aged persons.